10/758,581 10/758,582

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* * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

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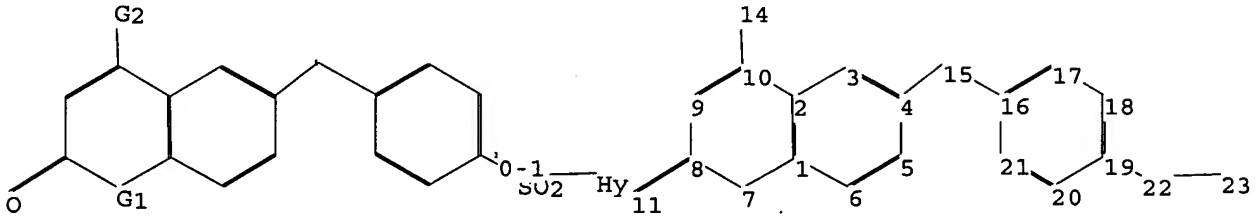


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chain nodes :
11  14  15  22  23
ring nodes :
1  2  3  4  5  6  7  8  9  10  16  17  18  19  20  21
chain bonds :
4-15  8-11  10-14  15-16  19-22  22-23
ring bonds :
1-2  1-6  1-7  2-3  2-10  3-4  4-5  5-6  7-8  8-9  9-10  16-17  16-21  17-18  18-19
 19-20  20-21
exact/norm bonds :
1-7  2-10  4-15  7-8  8-9  8-11  9-10  10-14  15-16  19-22  22-23
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  16-17  16-21  17-18  18-19  19-20  20-21
isolated ring systems :
containing 1 :


G1:O,N

G2:C,H,O

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:CLASS  14:CLASS  15:CLASS  16:Atom  17:Atom  18:Atom  19:Atom  20:Atom  21:Atom
22:CLASS  23:Atom



L1       STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1                STR
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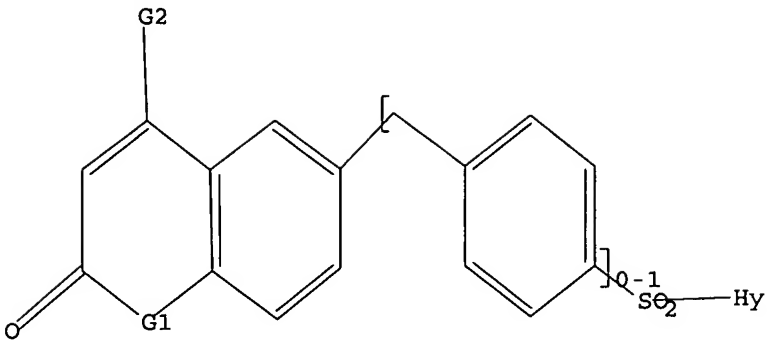


G1 O,N

G2 C,H,O

Structure attributes must be viewed using STN Express query preparation.

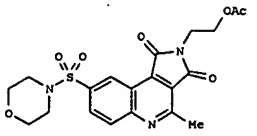
=> s l1 full
L3 655 SEA SSS FUL L1

=> file ca
COST IN U.S. DOLLARS SINCE FILE TOTAL

=> s l3
L4 38 L3

=> d ibib abs fhitstr 1-38

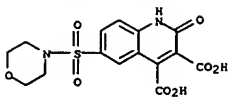
L4 ANSWER 1 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 143:78103 CA
TITLE: Synthesis and structure-activity relationship of 4-substituted 2-(2-acetyloxyethyl)-8-(morpholine-4-sulfonyl)pyrrolo[3,4-c]quinoline-1,3-diones as potent caspase-3 inhibitors
AUTHOR(S): Kravchenko, Dmitri V.; Kuzovkova, Yulia A.; Kysil, Volodymyr M.; Tkachenko, Sergey E.; Maliarchouk, Sergey; Okun, Ilya M.; Balakin, Konstantin V.; Ivachtchenko, Alexandre V.
CORPORATE SOURCE: Departments of Organic Chemistry, Medicinal Chemistry, and Molecular Biology and HTS, ChemRar Research Institute, Khimki, Russia
SOURCE: Journal of Medicinal Chemistry (2005), 48(11), 3680-3683
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

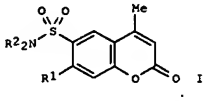
AB Synthesis, biol. evaluation, and SAR dependencies for a series of 1,3-dioxo-2,3-dihydro-1H-pyrrolo[3,4-c]quinoline inhibitors of caspase-3 are described. The inhibitory activity of the synthesized compds., e.g., I, was highly dependent on the nature of 4-substituents on the core scaffold. 4-Methyl- and 4-phenyl-substituted derivs., which were the most active compds. within this series, inhibited caspase-3 with IC50 of 23 and 27 nM, resp.
IT 854948-87-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, caspase-3 binding inhibition, and structure-activity relationship of substituted acetyloxyethyl(morpholinylsulfonyl)pyrroloquinolinediones using multistep procedures)
RN 854948-87-1 CA
CN 3,4-Quinolinedicarboxylic acid, 1,2-dihydro-6-(4-morpholinylsulfonyl)-2-oxo- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)

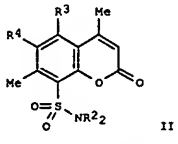


REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 143:26457 CA
TITLE: Studies with polyfunctionally substituted heterocyclic compounds: a convenient synthesis of newly substituted coumarinsulfonamides as hypoglycemic agents
AUTHOR(S): Ayoub, Mikdad T.; Said, Sh. M.; Al-Shaheen, A. J.
CORPORATE SOURCE: Dep. Chem., Coll. Sci., Hashemite Univ., Zarka, Jordan
SOURCE: Abhath Al-Yarmouk, Basic Sciences and Engineering (2001), 10(2A), 307English-322English
CODEN: AABSCT; ISSN: 1023-0149
PUBLISHER: Yarmouk University, Deanship of Research and Graduate Studies
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:26457
GI



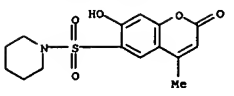
I



II

AB New hypoglycemic agents, coumarinsulfonamides I (R1 = HO, MeO, H2C:CHCH2O; R2R = H2N, piperidino, 4-MeOC6H4CH2NH, 1-methylpiperazino, etc.) and II (R3 = Me, R4 = H; R3 = H, R4 = Me), were synthesized starting from substituted 4-methylcoumarins, which were in turn prepared by cyclocondensation of Et acetoacetate with appropriate phenols. Chlorosulfonation of the 4-methylcoumarins afforded the corresponding chlorosulfonyl derivs., which reacted with aqueous ammonia or an amine to give I and II. All compds. were characterized and some of them were investigated for hypoglycemic activity in mice and proved to be remarkably active agents.
IT 852672-03-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of coumarinsulfonamides as hypoglycemic agents via cyclocondensation of acetoacetate with phenols, chlorosulfonylation and reaction with amines)
RN 852672-03-8 CA
CN Piperidine, 1-[(7-hydroxy-4-methyl-2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)

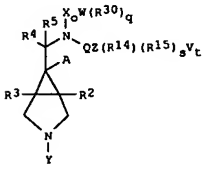


REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:430124 CA
TITLE: Preparation of 3-azabicyclo[3.1.0]hexane derivatives as glycine transporter inhibitors for enhancing cognition and treating psychoses
INVENTOR(S): Lowe, John A.; Mchardy, Stan
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037216	A2	20050428	WO 2004-US34083	20041014
WO 2005037216	A3	20050804		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005096375	A1	20050505	US 2004-964931	20041014
PRIORITY APPLN. INFO.:			US 2003-510846P	P 20031014

OTHER SOURCE(S): MARPAT 142:430124
GI

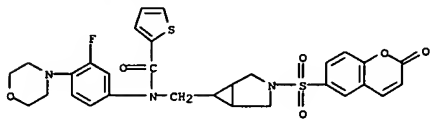


I

AB The present invention relates to substituted bicyclic [3.1.0]amines (shown as I; variables defined below; e.g. thiophene-2-carboxylic acid N-[(3-benzyl-3-azabicyclo[3.1.0]hex-6-yl)methyl]-N-[3-fluoro-4-(morpholin-4-yl)phenyl]amide (II)), their pharmaceutically acceptable salts, pharmaceutical compns. thereof, and their use (no data) for the enhancement of cognition and the treatment of the pos. and neg. symptoms of schizophrenia and other psychoses in mammals, including humans. Compds. of the invention analyzed by an assay for their activity in inhibiting glycine reuptake in synaptosomes have IC50 values more potent than 10 μM; no values for individual examples of I are given. For I: y = H or (R100)k-R1-(R6)m; k = 0-1; l = 0-3; m = 1-3; n = 0-4; o = 0-1; p = 0-3; q = 0-4; r = 1-2; s = 0-4; t = 0-1; u = 1-3; v = 1-3; R100 is -CH2-, -CH(C1-C3)alkyl-, -C(O)- or -SO2-. R1 is -(C1-C6)alkyl, -(C3-C8)cycloalkyl, -(4 to 7 membered) heterocycloalkyl, -(CH2)l-(C6-C10 aryl) or -(5 to 10 membered) heteroaryl, or (5 to 10 membered) tetrahydroheteroaryl; each R6 = H, halo, -(C1-C6) alkyl-B, (C1-C7) alkoxy-D, (C2-C4)alkenoxy, (C1-C6)alkyl-OH, -OH, CN, -NO2, -CR7R8R9, -NR20R21, -NHCOalkyl(C1-C3), NHSO2alkyl(C1-C3), C(O)OR22, -R23C(O)OR22, -C(O)NH2, phenyl-E, phenoxy-F, morpholine, -NR20R21, aryl, heteroaryl, -SR24, and -SO2R25; B and D = H, OH, Ph, di-Ph or trifluoro; E and F = H, alkyl, or halo. R2 and R3 = H or (C1-C3)alkyl; R4 and R5 = H or (C1-C3) alkyl; or R4 and R5 taken together form a double bond to an O to form (C:O), or R4 and R5 are connected with 2 to 4 C atoms to form a 3-5 membered carbocyclic ring; A is H or (C1-C3)alkyl-(R28)n; R28 = (C1-C3)alkoxy, -OH, -NR12R13 or -NHC(O)(C1-C4)alkyl; X is a bond, -CH2(R29)p, -C(O) or -SO2; R29 is -(C1-C3)alkyl; W is alkyl, -(C3-C6)cycloalkyl, -(3 to 7 membered) heterocycloalkyl, -(3 to 7 membered) heterocycloalkyl with 1 or 2 C:O groups, Ph, or -(5 to 7 member) heteroaryl or heterocyclic; R30 is -(C1-C4)alkyl, -(C1-C3)alkoxy, CN, -F, -Cl, -Br, -I, -NR18R19, -NHC(O)R18, -SCH3 or -C(O)CH3. Q is a bond, -CH(R31)r, -C(O) or SO2; R31 = H or (C1-C3)alkyl; Z is -(C1-C8)alkyl, -(C3-C8)cycloalkyl, -(4 to 8 member) heterocycloalkyl, Ph or -(5 to 7 membered) heteroaryl or heterocyclic; R14 is F, Cl, Br, I, V, H, -NR16R17, -OR16, -C(O)NR16R17, -(SO2)NR16R17, or NR32C:O-R33; R15 is -(C1-C3)alkyl, -(C1-C3)alkoxy, -F, -Br, -Cl, -I -OH or CN; V is -(C3-C8)cycloalkyl, -(C1-C5)alkyl, (5 to 7 membered) heterocycloalkyl, (5 to 7 membered)heterocycloalkyl substituted with 1 or 2 C:O groups or 1, 2, or 3-(C1-C5)alkyl groups; addnl. details are given in the claims. Although the methods of prepn. are not claimed, 6 example prepns. are included. For example, II was prepd. in 5 steps starting from (3-azabicyclo[3.1.0]hex-6-yl)methanol hydrochloride and involving 6-hydroxymethyl-3-azabicyclo[3.1.0]hexane-3-carboxylic acid tert-Bu ester, 6-[[[3-fluoro-4-(morpholin-4-yl)phenyl]amino)methyl]-3-azabicyclo[3.1.0]hexane-3-carboxylic acid tert-Bu ester, 6-[[[3-fluoro-4-(morpholin-4-yl)phenyl]{(thien-2-yl)carbonyl]amino]methyl]-3-azabicyclo[3.1.0]hexane-3-carboxylic acid tert-Bu ester and thiophene-2-carboxylic acid N-[(3-azabicyclo[3.1.0]hex-6-yl)methyl]-N-[3-fluoro-4-(morpholin-4-yl)phenyl]amide trifluoroacetate as intermediates.

IT 850810-23-0P, Thiophene-2-carboxylic acid N-[3-fluoro-4-(morpholin-4-yl)phenyl]-N-[[3-[(2-oxo-2H-chromen-6-yl)sulfonyl]-3-azabicyclo[3.1.0]hex-6-yl]methyl]amide

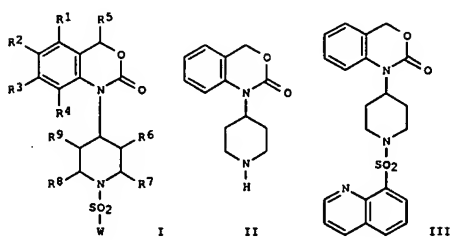
L4 ANSWER 3 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of 3-azabicyclo[3.1.0]hexane derivs. as glycine transporter inhibitors)
RN 850810-23-0 CA
CN 2-Thiophenecarboxamide, N-[3-fluoro-4-(4-morpholinyl)phenyl]-N-[[3-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]-3-azabicyclo[3.1.0]hex-6-yl]methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:240439 CA
TITLE: Preparation of benzoxazinone-derived piperidinesulfonamides as inhibitors of serotonin receptor 5-HT6 for the treatment of food intake disorders and other diseases
INVENTOR(S): Torrens Jover, Antoni; Mas Prio, Josep; Dordal Zueras, Alberto; Fisas Escasany, Maria Angeles
PATENT ASSIGNEE(S): Laboratorios del Esteve S. A., Spain; Torrens Jover, Antoni; Mas Prio, Josep
SOURCE: PCT Int. Appl., 267 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

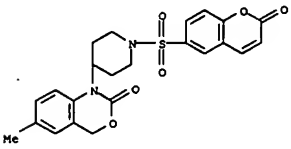
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005014589	A1	20050217	WO 2004-EP8507	20040729
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
ES 2232292	A1	20050516	ES 2003-1812	20030730
PRIORITY APPLN. INFO.:			ES 2003-1812	A 20030730

OTHER SOURCE(S): MARPAT 142:240439
GI



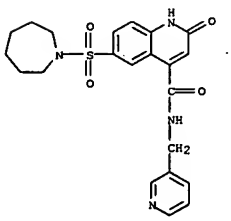
I II III

L4 ANSWER 4 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)
AB Title compds. I (wherein R1 - R4 = H, halo, (un)substituted alkyl, cycloalkyl, heterocyclyl, (hetero)aryl, nitro, cyano, alkoxy, ester, thioether, sulfonyl or amino; R5 = H, (un)substituted alkyl, cycloalkyl or heterocyclyl; R6 - R9 = H, (un)substituted alkyl, cycloalkyl, heterocyclyl, cyano or ester; W = (un)substituted alkyl, cycloalkyl, heterocyclyl, (hetero)aryl, amino or carbonyl; etc., and stereoisomers, racemates, salts or solvates thereof) were prepared as inhibitors of serotonin receptor 5-HT6, via reaction of 4-benzoxazinone-substituted piperidines or their salts with sulfonyl chlorides. For example, treatment of II·HCl with quinoline-8-sulfonyl chloride in the presence of DIPEA in DCM gave III in 69% yield, which showed inhibition against serotonin receptor 5-HT6 (Ki = 152 nM). Therefore, I and medicaments thereof are useful in the treatment and/or prophylaxis of disorders that are at least partially mediated via 5-HT6 receptors, such as food intake disorders.
IT 844685-65-0P, 6-Methyl-1-[1-[(2-oxo-2H-chromen-6-yl)sulfonyl]piperidin-4-yl]-1,4-dihydrobenzo[d][1,3]oxazin-2-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of (sulfonylpiperidinyl)benzoxazinones as 5-HT6 receptor inhibitors)
RN 844685-65-0 CA
CN Piperidine, 4-(6-methyl-2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

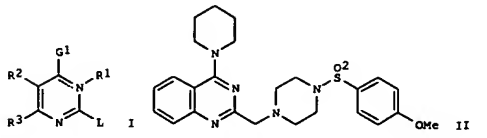
L4 ANSWER 5 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:219129 CA
TITLE: Parallel Liquid-Phase Synthesis of N-Substituted 6-Aminosulfonyl-2-oxo-1,2-dihydroquinoline-4-carboxamide and 6-Aminosulfonylquinoline-4-carboxamide Derivatives
AUTHOR(S): Ivachtchenko, Alexandre V.; Kobak, Vladimir V.; Ilyn, Alexey P.; Khvat, Alexander V.; Kysil, Volodymir M.; Williams, Caroline T.; Kuzovkova, Julia A.; Kravchenko, Dmitry V.
CORPORATE SOURCE: Chemical Diversity Labs, Inc., San Diego, CA, 92121, USA
SOURCE: Journal of Combinatorial Chemistry (2005), 7(2), 227-235
CODEN: JCCHFF; ISSN: 1520-4766
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Two efficient strategies for solution-phase parallel synthesis of libraries of quinoline derivs. are described. The first synthetic pathway features the Pfitzinger reaction of isatin with di-Et malonate and sulfochlorination of the resulting 2-oxo-1,2-dihydroquinoline-4-carboxylate followed by generation of sulfonamide library. The second strategy employs the unusual behavior of 5-sulfamoylisatins in Pfitzinger reactions, which results in formation of 6-sulfamoyl-4-carboxyquinolines instead of the anticipated 2-oxo-1,2-dihydroquinoline structures. The obtained carboxylates appeared to be convenient synthetic intermediates for the generation of the corresponding carboxamide libraries. Using these reagents, the parallel solution-phase synthesis of more than 500 substituted quinoline and 2-oxo-1,2-dihydroquinoline derivs. has been accomplished on the 50-100-mg scale. Simple manual techniques for parallel reactions using special CombiSyn synthesizers were coupled with easy purification procedures to give high-purity final products. The scope and limitations of the developed approaches are discussed.
IT 687590-00-7P
RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)
(parallel liquid-phase synthesis of libraries of N-substituted 6-aminosulfonyl-2-oxo-1,2-dihydroquinoline-4-carboxamide and 6-aminosulfonylquinoline-4-carboxamide derivs. involving both Pfitzinger and amidation reactions)
RN 687590-00-7 CA
CN 4-Quinolinecarboxamide, 6-[(hexahydro-1H-azepin-1-yl)sulfonyl]-1,2-dihydro-2-oxo-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:74614 CA
TITLE: Preparation of pyrimidine derivatives as modulators of ATP-binding cassette transporters
INVENTOR(S): Makings, Lewis R.; Singh, Ashvani K.; Miller, Mark T.; Hadida Ruah, Sarah S.; Grootenhuis, Peter; Hamilton, Matthew; Hazelwood, Anna R.; Huang, Liming
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 432 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004111014	A1	20041223	WO 2004-US17673	20040604
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005059687	A1	20050317	US 2004-862909	20040607

PRIORITY APPLN. INFO.: US 2003-476698P P 20030606
US 2003-500132P P 20030904
US 2003-520181P P 20031114
WO 2004-US17673 A 20040604

OTHER SOURCE(S): MARPAT 142:74614
GI

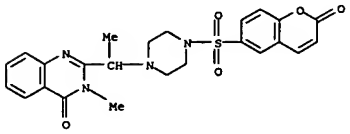


AB The present invention relates to compds. I [G1 = O, RA, ORA, SRA, NRARB (wherein RA, RB = VRV, or NRARB = (un)substituted 3-12 membered (un)saturated

L4 ANSWER 6 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)
monocyclic or bicyclic ring having 0-4 heteroatoms selected from N, O, or S; V = a bond, alkylidene wherein up to two methylene units of V are optionally replaced by CO, CS, COCO, etc.; RV = halo, NO2, CN, etc.}; R1 = absent, YRY {Y = a bond, alkylidene wherein up to two methylene units of Y are optionally replaced by CO, O, S, etc.; RY = halo, NO2, CN, etc.}; R2, R3 = TRZ, or R2 and R3, taken together, form (un)substituted 5-6 membered monocyclic aryl having 0-5 heteroatoms selected from N, O, or S, 5-6 membered (un)satd. monocyclic ring having 0-3 heteroatoms selected from N, O, or S {T = a bond, alkylidene wherein up to two methylene units of T are optionally replaced by CO, CS, COCO, etc. RZ = halo, NO2, CN, etc.}; L = G2BG3Ar1 (G2, G3 = absent, alkylidene wherein up to two methylene units are optionally replaced by CO, CS, SO, etc.; B = absent, (un)substituted aryl, heteroaryl, cycloalkyl, etc.; Ar1 = absent, (un)substituted 3-8 membered (un)satd. monocyclic ring having 0-3 heteroatoms, 8-12 membered (un)satd. bicyclic ring having 0-5 heteroatoms)] as modulators of ATP-Binding Cassette ("ABC") transporters or fragments thereof, including Cystic Fibrosis Transmembrane Regulator ("CFTR"), compns. thereof, and methods therewith. E.g., a multi-step synthesis of the quinazoline II, is described. The compds. I are useful as modulators of ATP binding cassette transporters (the EC50 and relative efficacy for 405 compds. I were given). The present invention also relates to methods of treating ABC transporter mediated diseases such as cystic fibrosis using the modulators I.

IT 815591-72-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines as modulators of ATP-binding cassette transporters)

RN 815591-72-1 CA
CN Piperazine, 1-[1-(3,4-dihydro-3-methyl-4-oxo-2-quinazolinyl)ethyl]-4-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

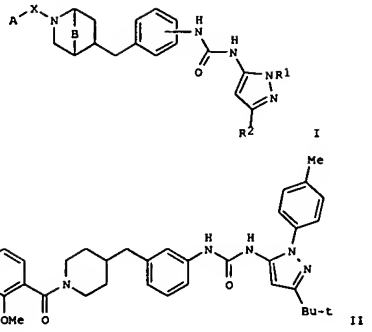
L4 ANSWER 7 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:23273 CA
TITLE: Preparation of pyrazolyl phenyl urea derivatives as inhibitors of p38 kinase and/or tumor necrosis factor (TNF) inhibitors for the treatment of inflammations
INVENTOR(S): Borcherding, David R.; Gross, Alexandre; Shum, Patrick Wai-Kwok; Willard, Nicole; Freed, Brian S.
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 235 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004100946	A1	20041125	WO 2004-US13875	20040505

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-468285P P 20030506

OTHER SOURCE(S): MARPAT 142:23273
GI



L4 ANSWER 6 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)

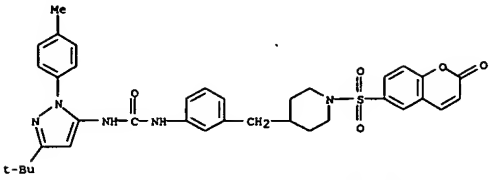
L4 ANSWER 7 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)

AB Title compds. I [wherein R1 = (cyclo)alkyl, (un)substituted aryl or pyridyl; R2 = (un)substituted (cyclo)alkyl; X = C(O), C(O)CH2, S(O)2, or NHC(O); A = (un)substituted alk(en/yn)yl; B = (CH2)n; n = 0 or 2; et al., or pharmaceutically acceptable salts, solvates or ester prodrugs thereof; or ester prodrugs of such salts or solvates], useful as inhibitors of p38 kinase and/or tumor necrosis factor (TNF), were prepared Thus, condensation of 4-methylenepiperidine hydrochloride with 2,4-dimethoxybenzoyl chloride followed by addition reaction with 9-BBN and subsequent Pd-catalyzed coupling with m-bromoaniline gave an aniline derivative This compound underwent addition reaction with 5-isocyanato-3-tert-butyl-1-(4-methylphenyl)pyrazole to afford urea II. Compds. I were tested in several biol. assays. E.g., I showed 50% inhibition at the concns. of 0.3-10000 nM in the p38 cascade assay, at the concns. of 10-50000 nM in the murine p38 assay, and at the concns. of 10-50000 nM in the LPS-induced TNFα assay. Pharmaceutical compns. comprising I are useful in the treatment of disease states capable of being modulated by the inhibition of p38 kinase and/or tumor necrosis factor (TNF), such as asthma and joint inflammation.

IT 799289-95-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhibitor; preparation of pyrazolyl Ph urea derivs. as inhibitors of p38 kinase and/or tumor necrosis factor (TNF))

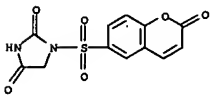
RN 799289-95-5 CA
CN Piperidine, 4-[(3-[[[[3-(1,1-dimethylethyl)-1-(4-methylphenyl)-1H-pyrazol-5-yl]amino]carbonyl]amino]phenyl]methyl]-1-[(2-oxo-2H-1-benzopyran-6-

L4 ANSWER 7 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)
yl)sulfonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 8 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)
pharmacophore generation approach)
RN 123089-54-3 CA
CN 2,4-Imidazolidinedione, 1-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI)
(CA INDEX NAME)



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 8 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:270985 CA
TITLE: Three-Dimensional Quantitative Structure-Activity Relationship Analysis of a Set of Plasmodium falciparum Dihydrofolate Reductase Inhibitors Using a Pharmacophore Generation Approach
AUTHOR(S): Parenti, Marco Daniele; Pacchioni, Sara; Ferrari, Anna Maria; Rastelli, Giulio
CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Universita di Modena e Reggio Emilia, Modena, 41100, Italy
SOURCE: Journal of Medicinal Chemistry (2004), 47(17), 4258-4267
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A 3D pharmacophore model able to quant. predict inhibition consts. was derived for a series of inhibitors of Plasmodium falciparum dihydrofolate reductase (PfDHFR), a validated target for antimalarial therapy. The data set included 52 inhibitors, with 23 of these comprising the training set and 29 an external test set. The activity range, expressed as Ki, of the training set mols. was from 0.3 to 11 300 nM. The 3D pharmacophore, generated with the HypoGen module of Catalyst 4.7, consisted of two hydrogen bond donors, one pos. ionizable feature, one hydrophobic aliphatic feature, and one hydrophobic aromatic feature and provided a 3D-QSAR model with a correlation coefficient of 0.954. Importantly, the type and spatial location of the chemical features encoded in the pharmacophore were in full agreement with the key binding interactions of PfDHFR inhibitors as previously established by mol. modeling and crystallog. of enzyme-inhibitor complexes. The model was validated using several techniques, namely, Fisher's randomization test using CatScramble, leave-one-out test to ensure that the QSAR model is not strictly dependent on one particular compound of the training set, and activity prediction in an external test set of compds. In addition, the pharmacophore was able to correctly classify as active and inactive the dihydrofolate reductase and aldose reductase inhibitors extracted from the MDDR database, resp. This test was performed to challenge the predictive ability of the pharmacophore with two classes of inhibitors that target very different binding sites. Mol. diversity of the data sets was finally estimated by the Tanimoto approach. The results obtained provide confidence for the utility of the pharmacophore in the virtual screening of libraries and databases of compds. to discover novel PfDHFR inhibitors.

IT 123089-54-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(QSAR of Plasmodium falciparum dihydrofolate reductase inhibitors using

L4 ANSWER 9 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:260561 CA
TITLE: A preparation of focused library of quinolinecarboxylic acid derivatives, useful as caspase enzyme inhibitors
INVENTOR(S): Ivashchenko, Alexander Vasilievich; Kobak, Vladimir Vasilievich; Kysil, Volodymyr Mikhailovich; Kuzovkova, Yulia Aleksandrovna; Ilyin, Alexey Petrovich; Kravchenko, Dmitri Vladimirovich; Tkachenko, Sergey Yevgenievich; Khvat, Alexander Viktorovich; Okun, Ilya Matusovich
PATENT ASSIGNEE(S): Chemical Diversity Research Institute, Ltd., Russia
SOURCE: PCT Int. Appl., 182 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

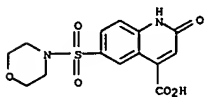
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004078731	A1	20040916	WO 2004-RU81		20040303
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI					
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
RU 2229475	C1	20040527	RU 2003-106182		20030306
RU 2257385	C2	20050727	RU 2003-125937		20030826
PRIORITY APPLN. INFO.:			RU 2003-106182	A	20030306
			RU 2003-124470	A	20030808
			RU 2003-125937	A	20030826

OTHER SOURCE(S): MARPAT 141:260561
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a preparation of focused library of quinolinecarboxylic acid derivs. of formulas I, II, and III [wherein: R1 is H, halogen, CF3, CN, NO2, or OH, etc.; R2 is halogen, (un)substituted alkyl, NH2, or OH; R3 is H, halogen, alk(en)yl, (un)substituted NH2 or OH; R4 is H, CO2H, or C(O)NH2; R5 is (un)substituted hydroxy- or mercapto-group, NH2, or heterocycle, etc.; R6 is H or other inert substituent; R7 is H, CN, CF3, NO2, NH2, alkylsulfonyl, or

L4 ANSWER 9 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)
hydroxysulfonyl, etc.; W is O, NH, or N-alkyl, etc.], useful as caspase enzyme inhibitors (no biol. data). For instance, quinolinecarboxylate deriv. IV was prepd. via esterification of quinolinecarboxylic acid deriv.
V by 2-FC6H4CH2Br with a yield of 74% (example 5).
IT 380426-68-6P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(preparation of focused library of quinolinecarboxylic acid derivs. useful
as caspase enzyme inhibitors)
RN 380426-68-6 CA
CN 4-Quinolinecarboxylic acid, 1,2-dihydro-6-(4-morpholinylsulfonyl)-2-oxo- (9CI) (CA INDEX NAME)



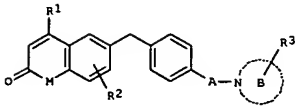
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:174085 CA
TITLE: Preparation of a new class of 6-sulfonamido-quinolin-2-one and 6-sulfonamido-2-oxo-chromene derivatives as androgen receptor antagonists
INVENTOR(S): Du, Daniel Yunlong; Fyfe, Matthew Colin Thor; Procter, Martin James; Schofield, Karen Lesley; Shah, Vilasben Kanji; Williams, Geoffrey Martyn
PATENT ASSIGNEE(S): Warner-Lambert Company Llc, USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004065539	A2	20040805	WO 2004-IB117	20040108
WO 2004065539	A3	20050428		
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI				
US 2005085466	A1	20050421	US 2004-758581	20040115
PRIORITY APPLN. INFO.:			US 2003-441050P	P 20030117

OTHER SOURCE(S): MARPAT 141:174085
GI

L4 ANSWER 10 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)



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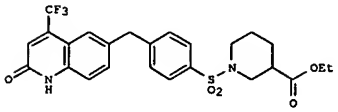
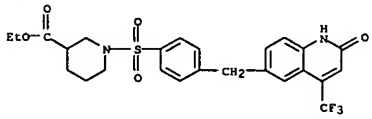


II

AB The title compds. [I; M = NZ, O; Z = H, alkyl; R1 = H, alkyl, haloalkyl, alkoxy, haloalkoxy; R2 = absent, halo, CN, OH, alkoxy, etc.; A = SO2; R3 = absent, halo, OH, CN, alkoxy, etc.; B = nitrogen containing heterocyclic ring], useful as androgen antagonists, and to relieve conditions associated with inappropriate activation of the androgen receptor, were prepared The exemplified compds. I (such as II) were prepared by solution phase parallel synthesis and tested for AR antagoniatic activity. In human breast cancer tumor cell, e.g., MDA-MB-453-MMTV clone 54-19, inhibition studies, 65-examples of compds. I exhibited IC50 values ranging from 0.52- >10 μM. Compds. I are claimed useful for the treatment of conditions associated with inappropriate activation of the androgen receptor, e.g., acne, alopecia and oily skin.
IT 733811-66-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 6-sulfonamido-quinolin-2-one and 6-sulfonamido-2-oxo-chromene derivs. as androgen receptor antagonists)
RN 733811-66-0 CA
CN 3-Piperidinecarboxylic acid, 1-[[4-[[1,2-dihydro-2-oxo-4-(trifluoromethyl)-6-quinolinyl]methyl]phenyl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)

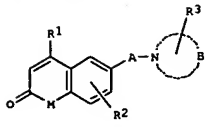


L4 ANSWER 11 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:174084 CA
TITLE: Preparation of 6-sulfonamido-quinolin-2-ones and related compounds as androgen receptor antagonists.
INVENTOR(S): Du, Daniel Yunlong; Fyfe, Matthew Colin Thor; Procter,
Martin James; Schofield, Karen Lesley; Shah, Vilasben Kanji; Williams, Geoffrey Martyn
PATENT ASSIGNEE(S): Warner-Lambert Company Llc, USA
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004065379	A1	20040805	WO 2004-IB94	20040108
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GH, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ				
US 2005085467	A1	20050421	US 2004-758582	20040115
PRIORITY APPLN. INFO.:			US 2003-441049P	P 20030117

OTHER SOURCE(S): MARPAT 141:174084
GI

L4 ANSWER 11 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)



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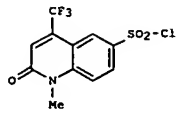
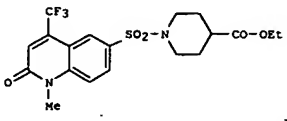


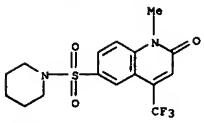
II



III

AB Title compds. I [M = NZ, O; Z = H, alkyl; R1 = H, halo-substituted alkyl, halo-substituted alkoxy; R2 = absent, or may represent up to 2-substituents, e.g., halo, CN, OH, etc.; A = SO2; B = completes a heterocyclic ring; R3 = absent, or may represent up to 2-substituents, e.g., halo, CN, OH, etc.] and their pharmaceutically acceptable salts were prepared For example, N-sulfonylation of Et isonipecotate by sulfonyl chloride II, e.g., prepared from 4-trifluoromethyl-1H-quinolin-2-one in 3-steps, afforded sulfonamidoquinolinone III. In human breast cancer tumor cell, e.g., MDA-MB-453, inhibition studies, 39-examples of compds. I exhibited IC50 values ranging from 0.16- >10 μM, the IC50 value of sulfonamidoquinolinone III was >10 μM. Compds. I are claimed useful for the treatment of conditions associated with inappropriate activation of the androgen receptor, e.g., acne, alopecia and oily skin.
IT 732300-83-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonamidoquinolinones and related compds. as androgen receptor antagonists.)
RN 732300-83-3 CA
CN Piperidine, 1-[[1,2-dihydro-1-methyl-2-oxo-4-(trifluoromethyl)-6-quinolinyl]sulfonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)

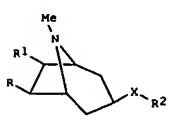


REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:149805 CA
TITLE: Preparation of thio-bridged aryl substituted azabicyclic derivatives for use in pharmaceutical compositions as modulators of acetylcholine receptors
INVENTOR(S): Astles, Peter Charles; Baker, Stephen Richard; Keenan,
Martine; Vernier, Jean Michel; Sanderson, Adam Jan; Cube, Rowena Villanueva; Martinez-Perez, Jose Antonio;
Mateo Herranz, Ana Isabel; Dell, Colin Peter; Gutierrez, Sonia; Prieto, Lourdes; Smith, Colin William
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 161 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003062235	A1	20030731	WO 2002-US21296	20020729
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1472248	A1	20041103	EP 2002-756388	20020729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US 2005182088	A1	20050818	US 2003-500516	20020729
PRIORITY APPLN. INFO.:			US 2002-350152P	P 20020117
			WO 2002-US21296	W 20020729

OTHER SOURCE(S): MARPAT 139:149805
GI



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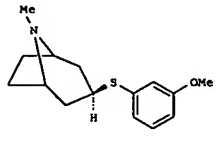
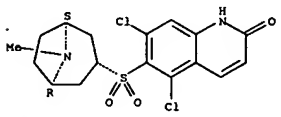


II

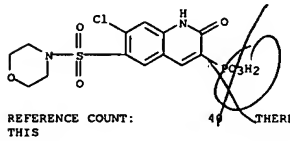
L4 ANSWER 12 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)
AB Arylthio substituted azabicyclic compds., such as I [R = R1 = H; RR1 = bond; R2 = aryl, heteroaryl; X = S, SO2], were prepared for therapeutic uses that require modulation of neurotransmission by promoting the release of neurotransmitters such as acetylcholine, dopamine and norepinephrine and are useful for the treatment of disorders of the central and autonomic nervous systems. More particularly, the present invention relates to thio-bridged aryl compds. that are capable of modulating acetylcholine receptors and pharmaceutical compns. comprising such compds. Thus, exo-3-(3-methoxyphenylthio)-8-methyl-8-azabicyclo[3.2.1]octane (II) was prepared with 41% yield by a stereoselective substitution reaction of 3-methoxybenzenethiol with endo-8-methyl-8-azabicyclo[3.2.1]oct-3-yl methanesulfonate using NaH in THF. Effects of the prepared azabicyclics on nicotine receptor β4 subtypes were determined using a functional Ca-flux assay.
IT 569340-35-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylthio-azabicyclic derivs. for use in pharmaceutical compns. as modulators of acetylcholine receptors)
RN 569340-35-8 CA
CN 2(1H)-Quinolinone, 5,7-dichloro-6-[[(3-exo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]sulfonyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



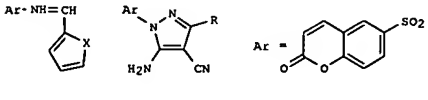
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 138:395409 CA
TITLE: Novel quinolinone-phosphonic acid AMPA antagonists devoid of nephrotoxicity
AUTHOR(S): Cordi, Alex A.; Desos, Patrice; Ruano, Elisabeth; Al-Badri, Hashim; Fugier, Claude; Chapman, Astrid G.; Meldrum, Brian S.; Thomas, Jean-Yves; Roger, Anita; Lestage, Pierre
CORPORATE SOURCE: Institut de Recherches Servier, Suresnes, F-92150, Fr.
SOURCE: Farmaco (2002), 57(10), 787-802
CODEN: FRMCE8; ISSN: 0014-827X.
PUBLISHER: Editions Scientifiques et Medicales Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:395409
AB We reported previously the synthesis and structure-activity relationships (SAR) in a series of 2-(1H)-oxoquinolines bearing different acidic functions in the 3-position. Exploiting these SAR, we were able to identify 6,7-dichloro-2-(1H)-oxoquinoline-3-phosphonic acid compound (S17625) as a potent, in vivo active AMPA antagonist. Unfortunately, during the course of the development, nephrotoxicity was manifest at therapeutically EDs. Considering that some similitude exists between S17625 and probenecid, a compound known to protect against the nephrotoxicity and/or slow the clearance of different drugs, we decided to synthesize some new analogs of S 17625 incorporating some of the salient features of probenecid. Replacement of the chlorine in position 6 by a sulfonylamine led to very potent AMPA antagonists endowed with good in vivo activity and lacking nephrotoxicity potential. Amongst the compds. evaluated, some of derivs. appear to be the most promising and are currently evaluated in therapeutically relevant storke models.
IT 355822-97-8P
RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(novel quinolinone-phosphonic acid AMPA antagonists devoid of nephrotoxicity)
RN 355822-97-8 CA
CN Phosphonic acid, [7-chloro-1,2-dihydro-6-(4-morpholinylsulfonyl)-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)



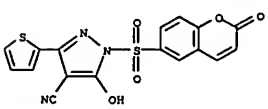
REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 137:294847 CA
TITLE: Reactions with coumarin. VI
AUTHOR(S): Ismail, I. Imam; El-Bary, H. Abd; El-Aleem, A. H. Abd; Hossni, A.
CORPORATE SOURCE: National Research Centre, Cairo, Egypt
SOURCE: Afinidad (2002), 59(498), 151-154
CODEN: AFINAE; ISSN: 0001-9704
PUBLISHER: Asociacion de Quimicos del Instituto Quimico de Sarria
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:294847
GI



I II

AB The present investigation is designed to study the reaction of some active methylene compds. with coumarin-6-sulfonyl hydrazones, I (X = O, S). The following active methylene compds. were used: malononitrile, Et cyanoacetate, di-Et malonate and 2,4-pentanedione. It was found that, the active methylene compound is added to the double bond of the hydrazone to give an adduct, which cyclized directly to pyrazole or pyrazoline-5-one derivs., e.g. II.
IT 467465-91-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation via cyclization reaction of coumarin sulfonyl hydrazone with Et cyanoacetate)
RN 467465-91-4 CA
CN 1H-Pyrazole-4-carbonitrile, 5-hydroxy-1-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]-3-(2-thienyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4 ANSWER 14 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)

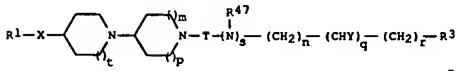
L4 ANSWER 15 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 135:318419 CA
TITLE: Synthesis of substituted bipiperidines and their use as H1 antagonists
INVENTOR(S): Lawrence, Louise; Rigby, Aaron; Sanganee, Hitesh; Springthorpe, Brian
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 160 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001077101	A1	20011018	WO 2001-SE751	20010405
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2403012	AA	20011018	CA 2001-2403012	20010405
EP 1274701	A1	20030115	EP 2001-920053	20010405
EP 1274701	B1	20050629		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001009922	A	20030218	BR 2001-9922	20010405
JP 2003530393	T2	20031014	JP 2001-575574	20010405
NZ 521543	A	20041029	NZ 2001-521543	20010405
EP 1493743	A1	20050105	EP 2004-20599	20010405
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, CY, TR				
AT 298748	E	20050715	AT 2001-920053	20010405
US 2002077337	A1	20020620	US 2001-827488	20010406
US 6525070	B2	20030225		
ZA 2002007700	A	20040102	ZA 2002-7700	20020925
NO 2002004774	A	20021129	NO 2002-4774	20021003
US 2004006080	A1	20040108	US 2003-341027	20030113
US 6903115	B2	20050607		
US 2004014783	A1	20040122	US 2003-436582	20030513
US 2005171092	A1	20050804	US 2005-76773	20050310

PRIORITY APPLN. INFO.:
GB 2000-8626 A 20000408
GB 2000-19111 A 20000803
SE 2000-3664 A 20001011
EP 2001-920053 A3 20010405
WO 2001-SE751 W 20010405

L4 ANSWER 15 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)
US 2001-827488 A3 20010406
US 2003-341027 A1 20030113
US 2003-436582 A3 20030513

OTHER SOURCE(S): MARPAT 135:318419
GI



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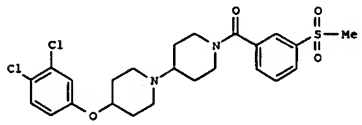
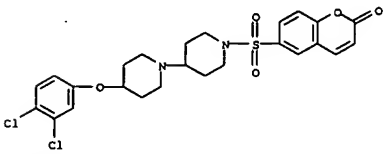


II

AB Title compds. I [q, s, t = 0 - 1; n, r = 0 - 5; m, p = 0 - 2; X = CH, C(O), O, S, S(O), S(O), N-; provided that when m and p are both 1 then X is not CH; Y = NHR2, OH; T = C(O), C(S), S(O), CH2; R1 = H, alkyl, aryl, heterocyclyl; R2, R47 = H, alkyl, aryl-alkyl, CO-alkyl; R3 = alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, thioaryl, thioheterocyclyl] were prepared Examples include: data for over 600 compds., 4 solid oral dosage and 1 parenteral (general) formulations, a bioassay for Ca2+ flux, human eosinophil chemotaxis and H1 antagonism. E.g., 4-(3,4-dichlorophenoxy)piperidine was alkylated with 1-(tert-butoxycarbonyl)-4-piperidone (1,2-dichloroethane, NaBH(OAc)3, HOAc, 18 h, room temperature) to give an intermediate {1,4'}bipiperidine. This intermediate was deprotected (DCM, TFA, 4 h, room temperature) and the resulting bipiperidine condensed with 3-methanesulfonylbenzoic acid (THF, PYBROP, (i-Pr)2NEt, 18 h, room temperature) to give example compound II isolated as the acetate salt. I are used in the treatment of a chemokine (such as CCR3) or H1 mediated disease state.

IT 367495-00-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug; synthesis of substituted bipiperidines and use as H1 antagonists)

RN 367495-00-9 CA
CN 1,4'-Bipiperidine, 4-(3,4-dichlorophenoxy)-1'-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)



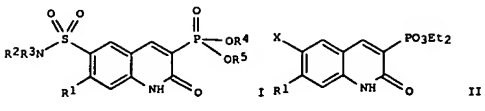
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 135:180874 CA
TITLE: 6-Aminosulfonyl or 6-hydrazinosulfonyl-3-quinolinylphosphonic acid derivatives, method of preparation, pharmaceutical compositions containing them and use as inhibitors of AMPA receptors.
INVENTOR(S): Cordi, Alex; Desos, Patrice; Lestage, Pierre
PATENT ASSIGNEE(S): Adir Et Compagnie, Fr.; Les Laboratoires Servier
SOURCE: Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1125942	A1	20010822	EP 2001-400409	20010216
EP 1125942	B1	20030409		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
FR 2805261	A1	20010824	FR 2000-2012	20000218
JP 2001270890	A2	20011002	JP 2001-36254	20010214
US 2001031746	A1	20011018	US 2001-784632	20010215
US 6486143	B2	20021126		
CA 2337785	AA	20010818	CA 2001-2337785	20010216
NO 2001000801	A	20010820	NO 2001-801	20010216
ZA 2001001340	A	20010822	ZA 2001-1340	20010216
BR 2001000601	A	20010918	BR 2001-601	20010216
CN 1320601	A	20011107	CN 2001-116286	20010216
NZ 510000	A	20011221	NZ 2001-510000	20010216
AT 236912	E	20030415	AT 2001-400409	20010216

PRIORITY APPLN. INFO.:
FR 2000-2012 A 20000218

OTHER SOURCE(S): CASREACT 135:180874; MARPAT 135:180874
GI



AB Compds. of formula I (e.g. 7-chloro-2-oxo-6-[(propylamino)sulfonyl]-1,2-dihydro-3-quinolinylphosphonic acid di-Et ester), methods of preparation, pharmaceutical compns. and pharmacol. effectiveness are claimed. In I, R1 = halogen, CF3; R2 = H, alkyl, cycloalkyl; R3 = alkyl, cycloalkyl, aryl, arylalkyl, alkoxy, aryloxy, arylalkoxy, hydroxy, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, alkylcarbonyl, arylcarbonyl, arylalkylcarbonyl, or NHR6 (R6 = H, alkyl, cycloalkyl, aryl, alkylcarbonyl, arylcarbonyl, arylalkyl or arylalkylcarbonyl)], or NR2R3 form a C5-6 ring in which one of the C atoms may be replaced by O, N, S, SO, SO2, or NRa (Ra = H, alkyl, cycloalkyl, aryl or arylalkyl). R4 and R5, identical or different, = H, alkyl, cycloalkyl, aryl, arylalkyl or

L4 ANSWER 16 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)

-CHR7-O-C(O)-R8 (R7 and R8, identical or different, = H, alkyl, cycloalkyl or aryl). Alkyl = C1-6 linear or branched alkyl; alkoxy = C1-6 linear or branched alkoxy; cycloalkyl = cyclic C3-8 alkyl; aryl = Ph or naphthyl, which may be nonsubstituted or substituted by 1-3 groups chosen from alkyl, cycloalkyl, hydroxy, alkoxy, amino, alkylamino, dialkylamino, cyano, nitro, polyhaloalkyl, SO2NR9R10 (R9 and R10, identical or different, = H, alkyl, cycloalkyl or aryl), or halogen atoms. Also claimed are enantiomers and diastereomers as well as salts from addn. to a pharmaceutically acceptable base. The prepn. comprises: condensation of 4-R1-2-NH2C6H3CHO with ClC(O)CH2PO3Et2 in the presence of base to give 4-R1-2-(Et2O3PCH2C(O)NH)C6H3CHO, which is cyclized in the presence of a catalytic amt. of piperidine to give II (X = H), which is nitrated to give II (X = O2N), which is reduced to give II (X = H2N), which is reacted with NaNO2 and HBF4 to give the diazonium salt, which is reacted with SO2 in the presence of CuCl2 to give II (X = ClSO2), which is combined with HNR2R3 to give II (X = R2R3NSO2), which is partially or totally deprotected by BrSiMe3 in MeCN to give the monoester or phosphinic acid form of I, which may be condensed with R''-Cl (R'' = alkyl, aryl, arylalkyl, R8C(O)OCHR7-) to give I (OR'' in place of OR4). I are inhibitors of AMPA receptors and are claimed to be effective against, for example, cerebral vascular accidents, cerebral or spinal traumatism, epilepsy, and chronic neurodegenerative diseases such as Alzheimer's disease, schizophrenia, amyotrophic lateral sclerosis or Huntington chorea. Semiquant. data are given for nephrotoxicity, and inhibition of audiogenic convulsions and AMPA receptors.

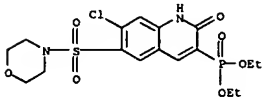
IT 355822-94-5P

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(6-aminosulfonyl or 6-hydrazinosulfonyl-3-quinolinylphosphonic acid derivs., method of preparation, pharmaceutical compns. containing them and use as inhibitors of AMPA receptors)

RN 355822-94-5 CA

CN Phosphonic acid, [7-chloro-1,2-dihydro-6-(4-morpholinylsulfonyl)-2-oxo-3-quinolinyl]-, diethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 38 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 134:178501 CA

TITLE: Synthesis of N-(Coumarinsulfonyl)thiohydantoin and -hydantoin derivatives

AUTHOR(S): Mandour, A. H.; Kassem, E. M.

CORPORATE SOURCE: Dep. of Nat. Products and Microbes, Natl. Res. Cent., Cairo, Egypt

SOURCE: Afinidad (2000), 57(489), 344-348
CODEN: AFINAE; ISSN: 0001-9704

PUBLISHER: Asociacion de Quimicos del Instituto Quimico de Sarria

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:178501

AB Acylation of glycine with 6-coumarinylsulfonyl chloride or (6-nitro-3-coumarinyl)sulfonyl chloride gave N-[(coumarinyl)sulfonyl]glycine derivs. Treatment of the latter compds. with ammonium thiocyanate and acetic anhydride afforded N-[(coumarinyl)sulfonyl]-3-thiohydantoins. The key intermediates thus prepared were 1-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]-2-thioxo-4-imidazolidinone and 1-[(6-nitro-2-oxo-2H-1-benzopyran-3-yl)sulfonyl]-2-thioxo-4-imidazolidinone. Hydrolysis of these intermediates using aqueous chloroacetic acid gave N-[(coumarinyl)sulfonyl]hydantoins. Thus, the above (thioxo)imidazolidinones were transformed into the resp. diones, 1-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]-2,4-imidazolidinedione and 1-[(6-nitro-2-oxo-2H-1-benzopyran-3-yl)sulfonyl]-2,4-imidazolidinedione. Condensation of N-[(coumarinyl)sulfonyl]-3-thiohydantoins and N-[(coumarinyl)sulfonyl]-3-hydantoins with (arylidene)malononitrile in piperidine gave the corresponding pyrano[2,3-d]imidazolidines. Also, the condensation of the above intermediates with aromatic aldehyde led to the formation of 5(arylidene)thiohydantoins and 5-(arylidene)hydantoins. The condensation of the latter compds. with malononitrile was also carried out.

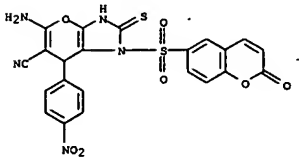
IT 326809-22-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 326809-22-7 CA

CN Pyrano[2,3-d]imidazole-6-carbonitrile, 5-amino-1,2,3,7-tetrahydro-7-(4-nitrophenyl)-1-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]-2-thioxo- (9CI) (CA INDEX NAME)



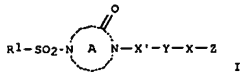
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 131:170361 CA
TITLE: Preparation of sulfonamides as inhibitors of activated blood coagulation factor X
INVENTOR(S): Tawada, Hiroyuki; Itoh, Fumio; Banno, Hiroshi; Terashita, Zenichi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9940075	A1	19990812	WO 1999-JP470	19990204
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2317017	AA	19990812	CA 1999-2317017	19990204
AU 9922988	A1	19990823	AU 1999-22988	19990204
JP 2000204081	A2	20000725	JP 1999-27053	19990204
EP 1054005	A1	20001122	EP 1999-902829	19990204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6403595	B1	20020611	US 2000-601660	20000803
US 2002193382	A1	20021219	US 2002-128809	20020424
US 6680312	B2	20040120		

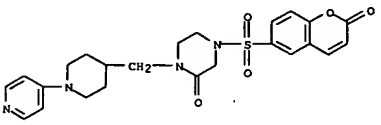
PRIORITY APPLN. INFO.: JP 1998-24833 A 19980205
JP 1998-317205 A 19981109
WO 1999-JP470 W 19990204
US 2000-601660 A3 20000803

OTHER SOURCE(S): MARPAT 131:170361
GI



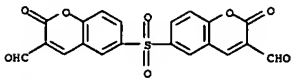
I

L4 ANSWER 18 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)
AB The title compds. I [R1 represents a hydrocarbyl or heterocyclic group each optionally substituted; the ring A represents a divalent nitrogen-containing heterocycle group optionally further substituted; X' represents optionally substituted alkylene; Y represents an optionally substituted divalent cyclic group; X represents a bond or optionally substituted alkylene; and Z represents optionally substituted amino, optionally substituted imidoyl, or an optionally substituted nitrogen-containing heterocyclic group] are prepared Formulations containing a compound of this invention are given. In a test for inhibiting activity of title compds. against activated blood coagulation factor X, 1-(4-amidinobenzyl)-4-(6-chloronaphthalene-2-sulfonyl)-2-piperazinone hydrochloride showed IC50 of 0.05 μM.
IT 239072-37-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonamides as inhibitors of activated blood coagulation factor X)
RN 239072-37-8 CA
CN Piperazinone, 4-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



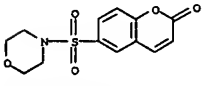
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 129:260316 CA
TITLE: Synthesis of some 6,6'-methylene- and 6,6'-sulfone-biscoumarins
AUTHOR(S): Brahmbhatt, D. I.; Jayabalan, L.; Hirani, B. R.; Singh, Shashibala
CORPORATE SOURCE: Department of Chemistry, Sardar Patel University, Vallabh Vidyanagar, 388 120, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1998), 37B(7), 683-685
CODEN: IJSBDB; ISSN: 0376-4699
PUBLISHER: National Institute of Science Communication, CSIR
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Various 3,3'-disubstituted-6,6'-methylenebiscoumarins, 3,3'-disubstituted-8,8'-dimethoxy-6,6'-methylenebiscoumarins and 3,3'-disubstituted-6,6'-sulfone-biscoumarins were synthesized by the reaction of appropriate bissalicylaldehydes with (carbethoxyalkylidene)triphenylphosphoranes under Wittig conditions.
IT 213541-57-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(for preparation of 6,6'-methylene- and 6,6'-sulfone-biscoumarins)
RN 213541-57-2 CA
CN 2H-1-Benzopyran-3-carboxaldehyde, 6,6'-sulfonylbis[2-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 128:321534 CA
TITLE: Reactions with coumarin: synthesis and reactions of coumarin sulfonamides
AUTHOR(S): Abdel-Bary, Hamed M.
CORPORATE SOURCE: Chem. Dep., Faculty Science, Menoufia Univ., Menoufia, Egypt
SOURCE: Afinidad (1998), 55(473), 67-71
CODEN: AFINAE; ISSN: 0001-9704
PUBLISHER: Asociacion de Quimicos del Instituto Quimico de Sarria
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Coumarin-6-sulfonyl chloride was animated with different secondary amines to give the sulfonamides. Treatment of these with hydrazine under controlled conditions effected ring-opening of the lactone ring to afford the corresponding o-hydroxycinnamoyl hydrazides which were converted to hydrazones by reation with various aldehydes. The hydrazones were cyclized using acetic anhydride to yield oxadiazolines. Reaction of the hydrazides with 4-toluoyl chloride afforded the corresponding N-toluoyl derivs. which cyclized with POCl3 to the corresponding 1,3,4-oxadiazole derivs. Thiosemicarbazide derivs. were obtained by treatment of the hydrazides with PhNCS. Cyclization of the thiosemicarbazides using POCl3 afforded the corresponding 1,3,4-thiadiazoles.
IT 84015-83-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reactions of coumarinsulfonamides)
RN 84015-83-8 CA
CN Morpholine, 4-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)

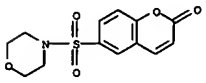


REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

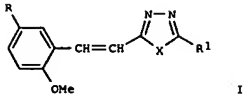
L4 ANSWER 21 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 127:176015 CA
TITLE: Reactions with coumarin: synthesis and reactions of coumarinsulfonamides
AUTHOR(S): Abdel-Bary, Hamed M.
CORPORATE SOURCE: Chemistry Department, Faculty of Science, Menoufia University, Menoufia, Egypt
SOURCE: Mansoura Science Bulletin, A: Chemistry (1997), 24(1, Suppl. 1), 161-170
CODEN: MSBCF4; ISSN: 1110-4562
PUBLISHER: Mansoura University
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Coumarin-6-sulfonyl chloride was amidated with different secondary amines to give coumarin-6-sulfonamides. The latter with hydrazine under controlled conditions effected ring-opening of the lactone ring to afford the corresponding o-hydroxycinnamoyl hydrazides. Hydrazones were obtained by condensation of the latter with aldehydes. Some reactions of the hydrazones or hydrazides were examined

IT **84015-83-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reactions of coumarinsulfonamides)
RN 84015-83-8 CA
CN Morpholine, 4-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)



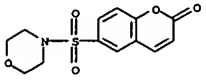
L4 ANSWER 22 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 126:251111 CA
TITLE: Synthesis and biological evaluation of 1,2,4-triazoles, 1,3,4-oxadiazoles, and 1,3,4-thiadiazoles
AUTHOR(S): Mandour, A. H.; Ahmed, Kh. M.; Mohamed, T. K.; El-Bazza, Z. E.
CORPORATE SOURCE: National Res. Centre, Cairo, Egypt
SOURCE: Egyptian Journal of Pharmaceutical Sciences (1996), 37(1-6), 71-84
CODEN: EJPSBZ; ISSN: 0301-5068
PUBLISHER: National Information and Documentation Centre
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



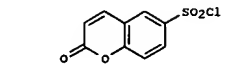
I

AB Alkaline hydrolysis, with di-Me sulfate and potassium hydroxide, of 6-substituted coumarins yielded 2-methoxycinnamic acids, which were converted to acid chlorides and then to (2-methoxycinnamoyl)thiosemicarbazides. Cyclization of the thiosemicarbazides, using sodium hydroxide, yielded triazoles I (R = NO2, Et2NSO2, piperidinosulfonyl, morpholinosulfonyl; R1 = SH; X = NH). Cyclodehydration of the thiosemicarbazides, using orthophosphoric acid or dicyclohexylcarbodiimide, led to thiadiazoles and oxadiazoles (I; same R; R1 = NH2; X = S, O). The antimicrobial and antiaflatoxigenic activities of I were evaluated.

IT **84015-83-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrolysis-methylation of)
RN 84015-83-8 CA
CN Morpholine, 4-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 23 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 124:175761 CA
TITLE: Reaction with coumarin. IV
AUTHOR(S): Abdel Bary, Hamed M.; Abdel Aleem, A. H.; Ismail, I. Imam
CORPORATE SOURCE: Menoufia University, Cairo, Egypt
SOURCE: Afinidad (1995), 52(459), 344-6
CODEN: AFINAE; ISSN: 0001-9704
PUBLISHER: Asociacion de Quimicos del Instituto Quimico de Sarria
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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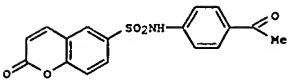
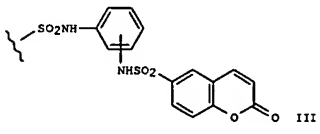


II

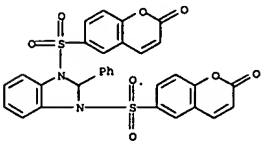


III

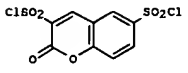
AB Coumarin-6-sulfonyl chloride (I) reacts with 4-aminobenzenesulfonamide or 2-amino-1,3,4-thiadiazole-5-sulfonamide at the sulfonamido amino group, leaving the amino group attached to the ring unreacted. Reaction of I with 4-aminoacetophenone, or with o-, m-, or p-phenylenediamine, gives corresponding mono- and bis-sulfonamides II or III, resp. II reacts with hydrazine hydrate or phenylhydrazine to yield hydrazones. Ortho-III is cyclized with aldehydes to give benzimidazole derivs.

IT **173975-94-5P**, 1,3-Bis(6-coumarinylsulfonyl)-2-phenyl-2,3-dihydrobenzimidazole
RL: SPN (Synthetic preparation); PREP (Preparation)
(final product; reactions of coumarinsulfonyl chloride with amines and sulfonamides, and derived products)
RN 173975-94-5 CA
CN 1H-Benzimidazole, 2,3-dihydro-1,3-bis[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]-2-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 23 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)

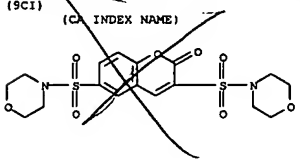


L4 ANSWER 24 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 123:55653 CA
TITLE: Reactions with coumarin-3,6-disulfonyl chloride
AUTHOR(S): Abd El-Aleem, Abd El-Aleem Hassan
CORPORATE SOURCE: Fac. Sci., Menoufia Univ., Egypt
SOURCE: Modelling, Measurement & Control, C: Energetics, Chemistry, Earth, Environmental & Biomedical Problems (1995), 47(1), 49-54
CODEN: MMCPE5; ISSN: 1259-5977
PUBLISHER: Association for the Advancement of Modelling and Simulation Techniques in Enterprises
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

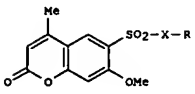


I

AB The reaction between coumarin-3,6-disulfonyl chloride (I) and amino compds. is investigated. The acid chloride reacts with aliphatic amines such as Et amine, ethanolamine, ethylenediamine or benzylamine to give the corresponding coumarin-6-sulfonamide derivs. While its reaction with secondary amines, aromatic amines or acid hydrazide gives the corresponding coumarin-3,6-disulfonamides. The reaction with hydrazine hydrate gives coumarin-6-sulfonylhydrazide or coumarin-3,6-disulfonylhydrazide, depends on the reaction conditions.
IT 164471-06-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(reactions of coumarindisulfonyl chloride)
RN 164471-06-1 CA
CN Morpholine, 4,4'-[(2-oxo-2H-1-benzopyran-3,6-diyl)bis(sulfonyl)]bis- (9CI) (CA INDEX NAME)



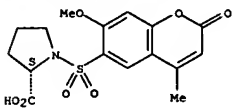
L4 ANSWER 25 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 122:161309 CA
TITLE: Synthesis and antimicrobial activity of some new 7-methoxy-4-methylcoumarin-6-sulfonylamino acid derivatives
AUTHOR(S): Ibrahim, T M.; Ahmed, F S M.; Shedid, S A.
CORPORATE SOURCE: Faculty Science, Al-Azhar University, Nasr, Egypt
SOURCE: Proceedings of the Indian National Science Academy, Part A: Physical Sciences (1994), 60(2), 433-9
CODEN: PIPSBD; ISSN: 0370-0046
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



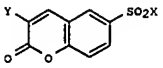
I

AB Title compds. I [X = amino acid, dipeptide; R = OH, OMe, NHNH2] were prepared from the sulfonyl chloride and amino acid, amino ester, or dipeptide.. The amino acid derivs., but not the peptide derivs., have bactericidal activity.
IT 161255-86-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antimicrobial activity of some new methoxy(methyl)coumarinsulfonylamino acid derivs.)
RN 161255-86-3 CA
CN L-Proline, 1-[(7-methoxy-4-methyl-2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



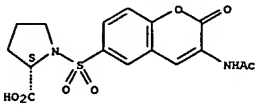
L4 ANSWER 26 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 122:31870 CA
TITLE: Synthesis and studies of some new 3-substituted coumarin derivatives
AUTHOR(S): Ibrahim, Tarek M.; Ahmed, Fayek S. M.; Shedid, Said A.
CORPORATE SOURCE: Fac. Sci., Al-Azhur Univ., Nasr, Egypt
SOURCE: Phosphorus, Sulfur and Silicon and the Related Elements (1994), 86(1-4), 263-8
CODEN: PSSLEC; ISSN: 1042-6507
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



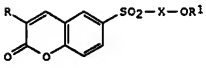
I

AB The preparation of different 3-acetamido-coumarin-6-sulfonylamino acids I (X = amino acid, dipeptide group; Y = NHCOMe, NH2, OH) was described. All the 3-amino or 3-hydroxycoumarin-6-sulfonylamino acid derivs. I (Y = NH2; X = amino acid group) and I (Y = OH; X = amino acid group) possess remarkable antimicrobial properties towards different microorganisms; the other I were inactive.
IT 156773-47-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antimicrobial agent)
RN 156773-47-6 CA
CN L-Proline, 1-[[3-(acetylamino)-2-oxo-2H-1-benzopyran-6-yl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



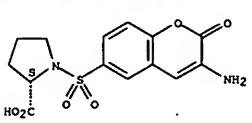
L4 ANSWER 27 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 121:109633 CA
TITLE: Synthesis and studies of some new 3-substituted coumarin derivatives
AUTHOR(S): Ibrahim, Tarek M.; Ahmed, Fayek S. M.; Shedid, Said A.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Nasr, Egypt
SOURCE: Sulfur Letters (1994), 17(2), 101-9
CODEN: SULED2; ISSN: 0278-6117
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



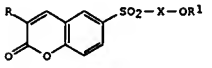
I

AB The synthesis of different 3-acetamidocoumarin-6-sulfonylamino acids I (R = AcNH, X = β-Ala, Pro, Leu, Met, Phe, R1 = H), the corresponding Me esters I (R1 = Me), dipeptides I (R = AcNH, X = β-Ala-Gly, Pro-Ser, Phe-Val, Leu-Tyr, Met-Phe, R1 = Me), and some related 3-amino- or 3-hydroxy derivs. I (R = H2N, HO) are described. All derivs. I (R = H2N, HO) possess remarkable antimicrobial properties towards different microorganisms.
IT 156773-61-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)
RN 156773-61-4 CA
CN L-Proline, 1-[(3-amino-2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



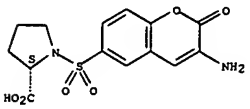
L4 ANSWER 28 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 121:109623 CA
TITLE: Synthesis and studies of some new 3-substituted coumarin derivatives
AUTHOR(S): Ibrahim, Tarek M.; Ahmed, Fayek S. M.; Shedid, Said A.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Nasr, Egypt
SOURCE: Boletin de la Sociedad Quimica del Peru (1993), 59(3), 135-41
CODEN: BSQPAQ; ISSN: 0037-8623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



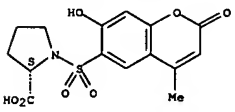
I

AB The synthesis of different 3-acetamidocoumarin-6-sulfonylamino acids I (R = AcNH, X = β-Ala, Pro, Leu, Met, Phe, R1 = H), the corresponding Me esters I (R1 = Me), dipeptides I (R = AcNH, X = β-Ala-Gly, Pro-Ser, Phe-Val, Leu-Tyr, Met-Phe, R1 = Me), and some related 3-amino- or 3-hydroxy derivs. I (R = H2N, HO) are described. All derivs. I (R = H2N, HO) possess remarkable antimicrobial properties towards different microorganisms.
IT 156773-61-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)
RN 156773-61-4 CA
CN L-Proline, 1-[(3-amino-2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)

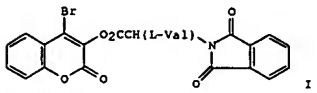
Absolute stereochemistry.



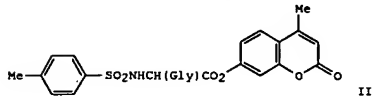
L4 ANSWER 29 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 29 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 120:54420 CA
TITLE: Studies on the structure-activity relationship of some new hydroxy coumarin derivatives
AUTHOR(S): Ibrahim, Tarek M.; El-Gazzar, Mohamed A.; El-Naggar, Ahmed M.; Shedid, Saied A.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Nasr, Egypt
SOURCE: Proceedings of the Indian National Science Academy, Part A: Physical Sciences (1993), 59(2), 189-95
CODEN: PIPSBD; ISSN: 0370-0046
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

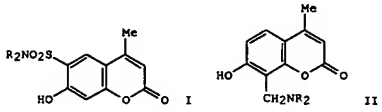


II

AB Synthesis of phthalimido- or tosylamino coumarin derivs., e.g., I, II, and N-(7-hydroxy-4-Me coumarin-6-sulfonyl)amino acids are described. Seven of these compds possess specific antimicrobial activities.
IT 152061-82-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)
RN 152061-82-0 CA
CN L-Proline, 1-[(7-hydroxy-4-methyl-2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)

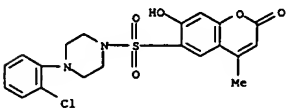
Absolute stereochemistry.

L4 ANSWER 30 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 117:69794 CA
TITLE: Synthesis of novel piperazinylcoumarins as possible antiallergic agents
AUTHOR(S): Badran, M. M.; Soliman, L. N.; El-Gendy, A. A.; El-Assi, H. R.
CORPORATE SOURCE: Fac. Pharm., Cairo Univ., Egypt
SOURCE: Bulletin of the Faculty of Pharmacy (Cairo University) (1990), 28(2), 43-5
CODEN: BFPHA8; ISSN: 0575-1373
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 117:69794
GI



I II

AB Piperazinylcoumarins I were synthesized by chlorosulfonylation of 7-hydroxy-4-methylcoumarin followed by reaction of the so-obtained chlorosulfonylcoumarin with 1-substituted piperazines. II were obtained by application of Mannich reaction conditions on the intermediate using formalin and 1-substituted piperazines. Compds. II were tested for antiallergic activity.
IT 142529-51-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 142529-51-9 CA
CN Piperazine, 1-(2-chlorophenyl)-4-[(7-hydroxy-4-methyl-2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)



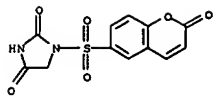
L4 ANSWER 31 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 115:239757 CA
TITLE: Hydantoin derivatives for use as hypoglycemic and/or hypolipidemic agents
INVENTOR(S): Mochida, Ei; Murakami, Kimihiro; Kato, Kazuo; Kato, Katsuaki; Okuda, Jun; Miwa, Ichitomo
PATENT ASSIGNEE(S): Mochida Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 444546	A1	19910904	EP 1991-102632	19910222
EP 444546	B1	19960911		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 03294270	A2	19911225	JP 1990-43420	19900223
CA 2036902	AA	19910824	CA 1991-2036902	19910222
AU 9171313	A1	19910829	AU 1991-71313	19910222
AU 633694	B2	19930204		
WO 9112803	A1	19910905	WO 1991-JP226	19910222
W: KR				
AT 142493	E	19960915	AT 1991-102632	19910222
US 5202339	A	19930413	US 1991-660562	19910225

PRIORITY APPLN. INFO.: JP 1990-43420 A 19900223
JP 1987-214549 A 19870828
JP 1989-43422 A 19890225
US 1989-426021 A3 19891024

OTHER SOURCE(S): MARPAT 115:239757
AB Pharmaceutical compns. containing hydantoin derivs. are useful for the treatment and prevention of diabetes mellitus with or without hyperlipidemia. Streptozotocin-induced diabetic rats were orally given 1-[benzo(b)furan-2-sulfonyl]hydantoin (I) 100 mg/kg. Serum glucose level 6 h after administration of I was decreased by 52.1 %as compared to 11.0 for gliclazide. Oral formulations and suppositories containing the hydantoin derivs. are given.
IT **123089-54-3**
RL: BIOL (Biological study)
(hypoglycemic and hypolipidemic agent)
RN 123089-54-3 CA
CN 2,4-Imidazolidinedione, 1-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)



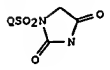
L4 ANSWER 32 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 114:6501 CA
TITLE: Preparation of heterocyclylsulfonylhydantoins as aldose reductase inhibitors
INVENTOR(S): Mochida, Ei; Murakami, Kimihiro; Kato, Kazuo; Kato, Katsuaki; Okuda, Jun; Miwa, Ichitomo
PATENT ASSIGNEE(S): Mochida Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 72 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 355827	A2	19900228	EP 1989-115635	19890824
EP 355827	A3	19900321		
EP 355827	B1	19970102		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 4914099	A	19900403	US 1988-235557	19880824
WO 9002126	A1	19900308	WO 1989-JP851	19890822
W: AU, DK, FI, NO				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AU 8940647	A1	19900323	AU 1989-40647	19890822
AU 623676	B2	19920521		
CA 1338866	A1	19970121	CA 1989-609100	19890823
JP 04128266	A2	19920428	JP 1989-217697	19890824
JP 06015539	B4	19940302		
AT 147073	E	19970115	AT 1989-115635	19890824
ES 2098222	T3	19970501	ES 1989-115635	19890824
US 5004751	A	19910402	US 1989-426021	19891024
NO 9001789	A	19900423	NO 1990-1789	19900423
NO 176478	B	19950102		
NO 176478	C	19950412		
DK 9001001	A	19900614	DK 1990-1001	19900423
US 5232936	A	19930803	US 1991-644632	19910123
US 5202339	A	19930413	US 1991-660562	19910225
AU 9221225	A1	19921015	AU 1992-21225	19920821
AU 646967	B2	19940310		
US 35279	E	19960618	US 1994-197705	19940217

PRIORITY APPLN. INFO.: US 1988-235557 A 19880824
JP 1989-43422 A 19890225
JP 1987-214549 A 19870828
WO 1989-JP851 A 19890822
US 1989-426021 A3 19891024
JP 1990-43420 A 19900223
US 1991-644632 A5 19910123

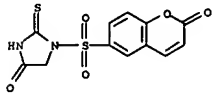
OTHER SOURCE(S): CASREACT 114:6501; MARPAT 114:6501
GI

L4 ANSWER 32 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)



I

AB Title compds. I (Q = (un)substituted mono- or fused heterocyclyl) salts or solvates were prepared I are useful for treatment and/or prevention of various forms of diabetic complications based on the accumulation of polyol metabolites. Intermediates for preparing I are also given. Pharmaceutical formulations comprising I are given. To a suspension of ICl in HCl were added 1-(benzo[b]thien-2-ylsulfonyl)-2-thiohydantoin (preparation given) and CH2Cl2 to give I (Q = benzo[b]thien-2-yl). I (Q = 3-bromo-4,6-dichlorobenzo[b]furan-2-yl) also prepared was tested on bovine lens aldose reductase; the IC50 was 0.054 μmol/L vs. sorbinyl whose IC50 was 0.6 μmol/L.
IT **123090-93-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of aldose reductase inhibitors)
RN 123090-93-7 CA
CN 4-Imidazolidinone, 1-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]-2-thioxo- (9CI) (CA INDEX NAME)



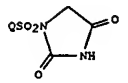
L4 ANSWER 33 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 111:232812 CA
TITLE: Preparation, testing, and formulation of 1-(arylsulfonyl)hydantoins as aldose reductose inhibitors
INVENTOR(S): Mochida, Ei; Kato, Kazuo; Kato, Katsuaki; Miwa, Ichitomo; Okuda, Jun
PATENT ASSIGNEE(S): Mochida Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 47 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 305947	A1	19890308	EP 1988-114050	19880829
EP 305947	B1	19920729		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 01061465	A2	19890308	JP 1987-214549	19870828
JP 2764262	B2	19980611		
WO 8901934	A1	19890309	WO 1988-JP843	19880825
W: DK, FI, NO				
AU 8821577	A1	19890302	AU 1988-21577	19880826
AU 609180	B2	19910426		
CA 1312083	A1	19921229	CA 1988-575759	19880826
AT 78815	E	19920815	AT 1988-114050	19880829
ES 2042666	T3	19931216	ES 1988-114050	19880829
FI 8901933	A	19890424	FI 1989-1933	19890424
FI 97134	B	19960715		
FI 97134	C	19961025		
NO 8901689	A	19890424	NO 1989-1689	19890424
NO 173059	B	19930712		
NO 173059	C	19931020		
DK 8902073	A	19890428	DK 1989-2073	19890428
US 5004751	A	19910402	US 1989-426021	19891024
US 5202339	A	19930413	US 1991-660562	19910225
US 35279	E	19960618	US 1994-197705	19940217

PRIORITY APPLN. INFO.: JP 1987-214549 A 19870828
US 1988-235557 A2 19880824
WO 1988-JP843 A 19880825
EP 1988-114050 A 19880829
JP 1989-43422 A 19890225
US 1989-426021 A3 19891024
JP 1990-43420 A 19900223
US 1991-644632 A5 19910123

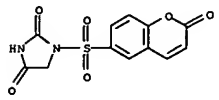
OTHER SOURCE(S): MARPAT 111:232812

L4 ANSWER 33 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)
GI

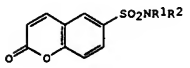


I

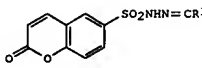
AB The title compds [I; Q = C1-8 alkyl, C3-6 cycloalkyl, biphenylyl, (substituted) heterocyclyl, 2-naphthalenyl], useful as aldose reductase inhibitors, were prepared K2CO3, glycine, and 1-chloronaphthalen-2-ylsulfonyl chloride in H2O were refluxed for 30 min in H2O to give N-(1-chloronaphthalen-2-yl)sulfonyl glycine. The latter was heated with pyridine, NH4SCN, and Ac2O for 15 min at 100° to give 1-(1-chloronaphthalen-2-ylsulfonyl)-2-thiohydantoin, which was heated with 50% HNO3 at 100° for 40 min to give 1-(1-chloronaphthalen-2-ylsulfonyl)hydantoin. I inhibited rat lens aldose reductase with IC50's of 0.038-0.66 μmol/L.
IT 123089-54-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as aldose reductase inhibitor)
RN 123089-54-3 CA
CN 2,4-Imidazolidinedione, 1-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 34 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 110:57464 CA
TITLE: The chemistry of sulfonylcoumarin derivatives
AUTHOR(S): Cremlyn, Richard J.; Clowes, Sally M.
CORPORATE SOURCE: Div. Chem. Sci., Hatfield Polytech., Hatfield/Hertfordshire, AL10 9AB, UK
SOURCE: Journal of the Chemical Society of Pakistan (1988), 10(1), 97-104
CODEN: JCSPDF; ISSN: 0253-5106
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:57464
GI

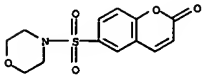


I

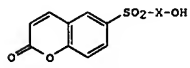


II

AB 6-(Chlorosulfonyl)coumarin was amidated to give amides I (R1 = H, alkyl; R2 = H, alkyl, PhCH2, tolyl; or NR1R2 = morpholino). Similarly, hydrazones II [R3 = Me, H; R4 = Me, Ph, C1C6H4, O2NC6H4; or R3R4 = (CH2)4] were prepared from the sulfonyl chloride via the resp. hydrazide. Some I and II showed fungicidal activity.
IT 84015-83-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 84015-83-8 CA
CN Morpholine, 4-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 35 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 108:167952 CA
TITLE: Synthesis and antimicrobial activity of some new N-coumarin-6-sulfonyl amino acid and dipeptide derivatives
AUTHOR(S): El-Naggar, A. M.; Abd El-Salam, A. M.; Ibrahim, T. M.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt
SOURCE: Afinidad (1987), 44(411), 431-3
CODEN: AFINAE; ISSN: 0001-9704
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:167952
GI



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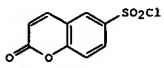
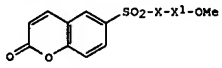


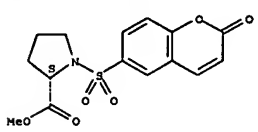
II



III

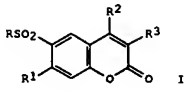
AB Title amino acids I [X = β-Ala, Val, DL-Val, Leu, p-NHC6H4CO (p-Aba), m-NHC6H4CO (m-Aba), Tyr, etc.] were prepared by sulfonylating the appropriate amino acid with sulfonyl chloride II. I were esterified with MeOH via SOCl2 to give the corresponding Me esters. Dipeptides III (X-X1 = β-Ala-DL-Ser, β-Ala-Leu, Pro-Phe, Phe-Val, etc.) were prepared by coupling the appropriate I with H-X1-OMe.HCl by DCC in THF containing Et3N.
I (X = β-Ala, p-Aba, m-Aba) and the Me esters of I (X = Leu, Pro) were active against a number of microorganisms.
IT 113789-65-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antimicrobial activity of)
RN 113789-65-4 CA
CN L-Proline, 1-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



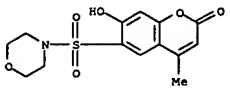
L4 ANSWER 35 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 36 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 108:55829 CA
TITLE: Some reactions with coumarins sulfonyl chloride and their antibacterial activities
AUTHOR(S): Aly, F. M.; Bedair, A. H.; El-Assy, R. K. M.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt
SOURCE: Oriental Journal of Chemistry (1987), 3(1), 76-82
CODEN: OJCHEG; ISSN: 0970-020X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

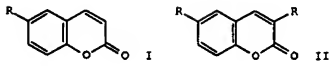


I

AB Condensation of coumarinsulfonyl chlorides I(R = Cl, R1 = R2 = H, R3 = SO2Cl; R = Cl, R1 = OH, R2 = Me, R3 = H, II) with hydrazine gave the corresponding sulfonylhydrazides I (R = NHNH2, R1 = R2 = R3 = H; R = NHNH2, R1 = OH, R2 = Me, R3 = H). Condensation of the sulfonylhydrazides with benzaldehydes R4C6H4CHO (R4 = 2-NO2, 3-NO2, 4-NO2, H, 2-OH) gave the corresponding hydrazones. Condensation of II with amines R5NH2 (R5 = Ph, R6C6H4, 1-C10H7, cyclohexyl, EtCHMe, R6 = 2-Me, 3-Me, 4-Me, 3-OH, 4-OMe) gave the corresponding sulfonamides and condensation with 3- and 4-(H2N)2C6H4 gave the corresponding disulfonamides. The bactericidal activity of the newly prepared compds. was discussed.
IT 112097-01-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)
RN 112097-01-5 CA
CN Morpholine, 4-[(7-hydroxy-4-methyl-2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)

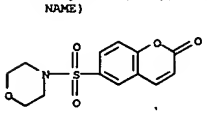


L4 ANSWER 37 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 105:208723 CA
TITLE: Synthesis of coumarin sulfonamides, sulfonates, and related compounds
AUTHOR(S): El-Maghraby, A. A.; Aly, F. M.; Bedair, A. H.; Emam, H. A.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Nasr, Egypt
SOURCE: Egyptian Journal of Chemistry (1985), Volume Date 1984, 27(4), 459-69
CODEN: EGJCA3; ISSN: 0367-0422
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

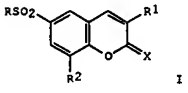


I II

AB Condensation of coumarin-6-sulfonyl chloride I (R = SO2Cl) with H2NCH2CH2NH2 gave sulfonamide I [R = SO2NH(CH2)2NH2], which reacted with aromatic amines to give the corresponding Schiff bases I [R = SO2NH(CH2)2N:CHR1 (R1 = Ph, substituted Ph)]. Various coumarin-3,6-disulfonamides II [R = SO2NHR1 (R1 = Ph, substituted Ph)], diarylsulfonates II [R = SO3R1 (R1 = substituted Ph)], and coumarin-6-sulfonamides I [R = SO2NHR1 (R1 = Bu, CH2Ph, 2-furyl, piperidyl)] were prepared starting from coumarin-3,6-disulfonyl chloride (II, R = SO2Cl).
IT 84015-83-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 84015-83-8 CA
CN Morpholine, 4-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)

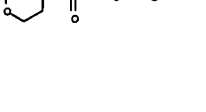


L4 ANSWER 38 OF 38 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 98:34463 CA
TITLE: Synthesis and biological activity of coumarin sulfonamides and related compounds
AUTHOR(S): Islam, A. M.; Bedair, A. H.; El-Maghraby, A. A.; Aly, F. M.; Emam, H. A.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Nasr, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1982), 21B(5), 487-9
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 98:34463
GI



I

AB The coumarins I [R = BuNH, PhCH2NH, 2-furylmethylamino, (un)substituted anilino, (un)substituted phenoxy; R1 = R2 = H; X = O] were prepared by treating 6-coumarinylsulfonyl chloride with RH. Some I (R1 = R2 = H) were converted to I (R1 = R2 = Br, R1 = H, R2 = NO2, R = R1 = H, X = S, NOH). Some I had bactericidal activity.
IT 84015-83-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 84015-83-8 CA
CN Morpholine, 4-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)



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L2           44 S L1 SAM
L3          655 S L1 FULL

     FILE 'CA' ENTERED AT 15:24:20 ON 08 SEP 2005
L4           38 S L3

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---Logging off of STN---


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Executing the logoff script...


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STN INTERNATIONAL LOGOFF AT 15:25:04 ON 08 SEP 2005
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